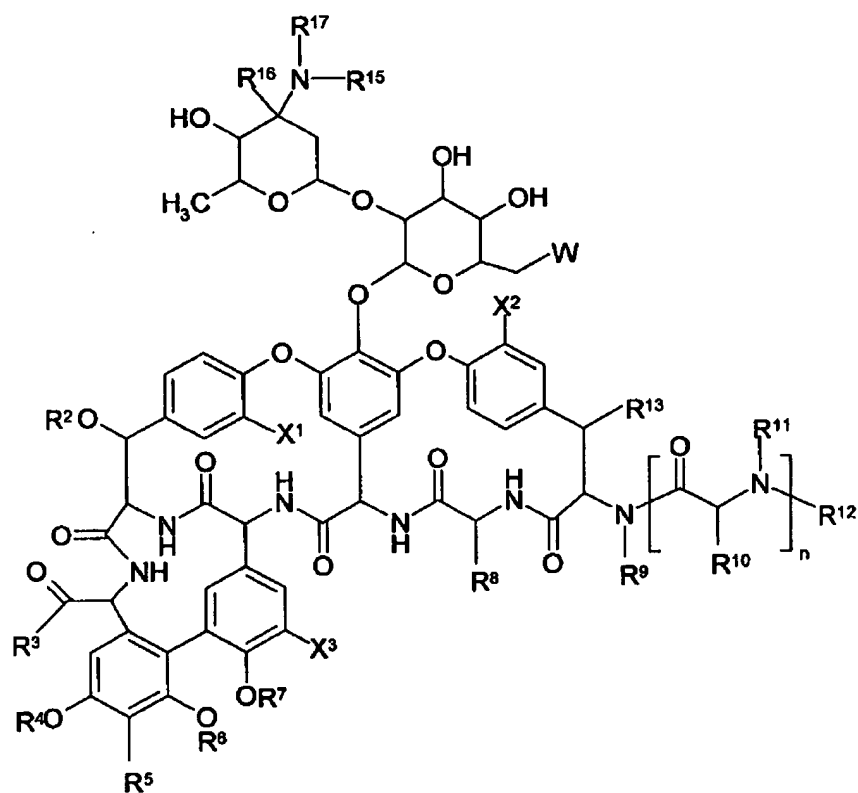


U.S. Serial No. 09/776,466
 Attorney Docket No. P-087-US1
 Page 2

II. AMENDMENTS TO THE CLAIMS

1. (Previously Amended) A compound of formula I:



I

wherein

R^2 is hydrogen or a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$;

R^3 is $-OR^c$, $-NR^cR^c$, $-O-R^a-Y-R^b-(Z)_x$, $-NR^c-R^a-Y-R^b-(Z)_x$, $-NR^cR^c$, or

$-O-R^c$;

U.S. Serial No. 09/776,466
Attorney Docket No. P-087-US1
Page 3

R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$;

R^5 is selected from the group consisting of hydrogen, halo, $-\text{CH}(R^c)-\text{NR}^cR^c$, $-\text{CH}(R^c)-\text{NR}^cR^c$ and $-\text{CH}(R^c)-\text{NR}^c-R^a-Y-R^b-(Z)_x$;

R^6 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and a saccharide group optionally substituted with $-\text{NR}^c-R^a-Y-R^b-(Z)_x$, or R^5 and R^6 can be joined, together with the atoms to which they are attached, form a heterocyclic ring optionally substituted with $-\text{NR}^c-R^a-Y-R^b-(Z)_x$;

R^7 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, and $-C(O)R^d$;

R^8 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^9 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^{10} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; or R^8 and R^{10} are joined to form $-\text{Ar}^1-\text{O}-\text{Ar}^2-$, where Ar^1 and Ar^2 are independently arylene or heteroarylene;

R^{11} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl,

U.S. Serial No. 09/776,466
Attorney Docket No. P-087-US1
Page 4

substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or R^{10} and R^{11} are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R^{12} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, $-C(O)R^d$, $-C(NH)R^d$, $-C(O)NR^cR^c$, $-C(O)OR^d$, $-C(NH)NR^cR^c$ and $-R^a-Y-R^b-(Z)_x$, or R^{11} and R^{12} are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

R^{13} is selected from the group consisting of hydrogen or $-OR^{14}$;

R^{14} is selected from hydrogen, $-C(O)R^d$ and a saccharide group;

R^{15} is hydrogen or $-R^a-Y-R^b-(Z)_x$;

R^{16} is hydrogen or methyl;

R^{17} is hydrogen, alkyl or substituted alkyl;

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is a saccharide group;

U.S. Serial No. 09/776,466
 Attorney Docket No. P-087-US1
 Page 5

W is selected from the group consisting of $-SR^c$, $-S-S-R^d$, $-NR^cR^c$, $-S(O)R^d$, $-SO_2R^d$, $-NR^cC(O)R^d$, $-OSO_2R^d$, $-OC(O)R^d$, $-NR^cSO_2R^d$, $-C(O)NR^cR^c$, $-C(O)OR^c$, $-C(NR^c)OR^c$, $-SO_2NR^cR^c$, $-SO_2OR^c$, $-P(O)(OR^c)_2$, $-P(O)(OR^c)NR^cR^c$, $-OP(O)(OR^c)_2$, $-OP(O)(OR^c)NR^cR^c$, $-OC(O)OR^d$, $-NR^cC(O)OR^d$, $-NR^cC(O)NR^cR^c$, $-OC(O)NR^cR^c$, $-NR^cSO_2NR^cR^c$, $-N^+(R^c)=CR^cR^c$, $-N=P(R^d)_3$, $-N^+(R^d)_3$, $-P^+(R^d)_3$, $-C(S)OR^d$, and $-C(S)SR^d$;

X^1 , X^2 and X^3 are independently selected from hydrogen or chloro;

each *Y* is independently selected from the group consisting of oxygen, sulfur, $-S-S-$, $-NR^c-$, $-S(O)-$, $-SO_2-$, $-NR^cC(O)-$, $-OSO_2-$, $-OC(O)-$, $-NR^cSO_2-$, $-C(O)NR^c-$, $-C(O)O-$, $-SO_2NR^c-$, $-SO_2O-$, $-P(O)(OR^c)O-$, $-P(O)(OR^c)NR^c-$, $-OP(O)(OR^c)O-$, $-OP(O)(OR^c)NR^c-$, $-OC(O)O-$, $-NR^cC(O)O-$, $-NR^cC(O)NR^c-$, $-OC(O)NR^c-$ and $-NR^cSO_2NR^c-$;

each *Z* is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

n is 0, 1 or 2;

x is 1 or 2;

and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof;

provided that at least one of R^{15} , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 or R^{12} has a substituent of the formula $-R^a-Y-R^b-(Z)_x$;

and further provided that:

- (i) when *Y* is $-NR^c-$, R^c is alkyl of 1 to 4 carbon atoms, *Z* is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;
- (ii) when *Y* is $-C(O)NR^c-$, *Z* is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;
- (iii) when *Y* is sulfur, *Z* is hydrogen and R^b is alkylene, then R^b contains at least 7 carbon atoms; and

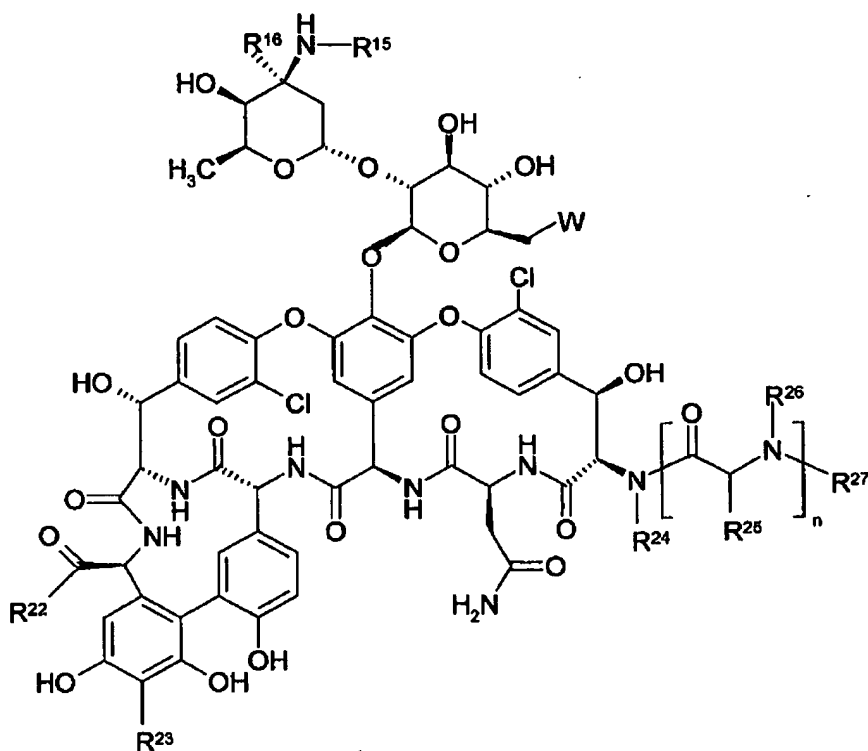
U.S. Serial No. 09/776,466
Attorney Docket No. P-087-US1
Page 6

(iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b contains at least 11 carbon atoms.

2. (Original) The compound of Claim 1, wherein R² is hydrogen and R¹³ is -OH.
3. (Original) The compound of Claim 2, wherein R⁴, R⁶ and R⁷ are each hydrogen.
4. (Original) The compound of Claim 3, wherein R⁸ is -CH₂C(O)NH₂.
5. (Original) The compound of Claim 4, wherein R⁹ is hydrogen; R¹⁰ is isobutyl; R¹¹ is methyl; and R¹² is hydrogen.
6. (Original) The compound of Claim 5, wherein R⁵ is hydrogen, -CH₂-NHR^c, -CH₂-NR^cR^c and -CH₂-NH-R^a-Y-R^b-(Z)_x.
7. (Original) The compound of Claim 6, wherein R³ is -OR^c or -NR^cR^c.
8. (Original) The compound of Claim 7, wherein R³ is -OH and R⁵ is hydrogen.
9. (Original) The compound of Claim 8, wherein R¹⁵ is -R^a-Y-R^b-(Z)_x.

U.S. Serial No. 09/776,466
 Attorney Docket No. P-087-US1
 Page 7

10. (Previously Amended) A compound of formula II:



II

wherein

R¹⁵ is hydrogen or -R^a-Y-R^b-(Z)_x;

R¹⁶ is hydrogen or methyl;

R²² is -OR^c, -NR^cR^c, -O-R^a-Y-R^b-(Z)_x or -NR^c-R^a-Y-R^b-(Z)_x;

R²³ is selected from the group consisting of hydrogen, halo, -CH(R^c)-NR^cR^c,
 -CH(R^c)-R^c and -CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x;

R²⁴ is selected from the group consisting of hydrogen and lower alkyl;

R²⁵ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl,

U.S. Serial No. 09/776,466
 Attorney Docket No. P-087-US1
 Page 8

substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^{26} is selected from the group consisting of hydrogen and lower alkyl; or R^{25} and R^{26} are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R^{27} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, $-C(O)R^d$, $-C(NH)R^d$, $-C(O)NR^cR^c$, $-C(O)OR^d$, $-C(NH)NR^cR^c$ and $-R^a-Y-R^b-(Z)_x$, or R^{26} and R^{27} are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is an aminosaccharide group;

W is selected from the group consisting of $-SR^c$, $-S-S-R^d$, $-NR^cR^c$, $-S(O)R^d$, $-SO_2R^d$, $-NR^cC(O)R^d$, $-OSO_2R^d$, $-OC(O)R^d$, $-NR^cSO_2R^d$, $-C(O)NR^cR^c$, $-C(O)OR^c$, $-C(NR^c)OR^c$, $-SO_2NR^cR^c$, $-SO_2OR^c$, $-P(O)(OR^c)_2$, $-P(O)(OR^c)NR^cR^c$, $-OP(O)(OR^c)_2$, $-OP(O)(OR^c)NR^cR^c$, $-OC(O)OR^d$, $-NR^cC(O)OR^d$, $-NR^cC(O)NR^cR^c$, $-OC(O)NR^cR^c$, $-NR^cSO_2NR^cR^c$;

U.S. Serial No. 09/776,466
 Attorney Docket No. P-087-US1
 Page 9

$-N^+(R^c)=CR^cR^c$, $-N=P(R^d)_3$, $-N^+(R^d)_3$, $-P^+(R^d)_3$, $-C(S)OR^d$, and $-C(S)SR^d$;

each Y is independently selected from the group consisting of oxygen, sulfur, $-S-S-$, $-NR^c-$, $-S(O)-$, $-SO_2-$, $-NR^cC(O)-$, $-OSO_2-$, $-OC(O)-$, $-NR^cSO_2-$, $-C(O)NR^c-$, $-C(O)O-$, $-SO_2NR^c-$, $-SO_2O-$, $-P(O)(OR^c)O-$, $-P(O)(OR^c)NR^c-$, $-OP(O)(OR^c)O-$, $-OP(O)(OR^c)NR^c-$, $-OC(O)O-$, $-NR^cC(O)O-$, $-NR^cC(O)NR^c-$, $-OC(O)NR^c-$ and $-NR^cSO_2NR^c-$;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

n is 0, 1 or 2;

x is 1 or 2;

and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof;

provided that at least one of R^{15} , R^{22} , R^{23} or R^{27} has a substituent of the formula

$-R^a-Y-R^b-(Z)_x$;

and further provided that:

- (i) when Y is $-NR^c-$, R^c is alkyl of 1 to 4 carbon atoms, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;
- (ii) when Y is $-C(O)NR^c-$, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;
- (iii) when Y is sulfur, Z is hydrogen and R^b is alkylene, then R^b contains at least 7 carbon atoms; and
- (iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b contains at least 11 carbon atoms.

11. (Original) The compound of Claim 10, wherein R^{24} is hydrogen; R^{25} is isobutyl; R^{26} is methyl; and R^{27} is hydrogen.

U.S. Serial No. 09/776,466
Attorney Docket No. P-087-US1
Page 10

12. (Original) The compound of Claim 11, wherein R^{22} is $-OH$.
13. (Original) The compound of Claim 12, wherein R^{23} is hydrogen.
14. (Original) The compound of Claim 13, wherein R^{15} is $-R^a-Y-R^b-(Z)_x$.
15. (Original) The compound of Claim 9 or 14, wherein W is $-NH_2$.
16. (Original) The compound of Claim 15, wherein the $-R^a-Y-R^b-(Z)_x$ group is selected from the group consisting of:
- $-CH_2CH_2-NH-(CH_2)_9CH_3$;
 - $-CH_2CH_2CH_2-NH-(CH_2)_8CH_3$;
 - $-CH_2CH_2CH_2CH_2-NH-(CH_2)_7CH_3$;
 - $-CH_2CH_2-NHSO_2-(CH_2)_9CH_3$;
 - $-CH_2CH_2-NHSO_2-(CH_2)_{11}CH_3$;
 - $-CH_2CH_2-S-(CH_2)_8CH_3$;
 - $-CH_2CH_2-S-(CH_2)_9CH_3$;
 - $-CH_2CH_2-S-(CH_2)_{10}CH_3$;
 - $-CH_2CH_2CH_2-S-(CH_2)_8CH_3$;
 - $-CH_2CH_2CH_2-S-(CH_2)_9CH_3$;
 - $-CH_2CH_2CH_2-S-(CH_2)_3-CH=CH-(CH_2)_4CH_3$ (*trans*);
 - $-CH_2CH_2CH_2CH_2-S-(CH_2)_7CH_3$;
 - $-CH_2CH_2-S(O)-(CH_2)_9CH_3$;
 - $-CH_2CH_2-S-(CH_2)_6Ph$;
 - $-CH_2CH_2-S-(CH_2)_8Ph$;
 - $-CH_2CH_2CH_2-S-(CH_2)_8Ph$;

U.S. Serial No. 09/776,466
Attorney Docket No. P-087-US1
Page 11

- CH₂CH₂-NH-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂-NH-CH₂-4-[4-CH₃)₂CHCH₂]-Ph;
- CH₂CH₂-NH-CH₂-4-(4-CF₃-Ph)-Ph;
- CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-S-CH₂-4-[3,4-di-Cl-PhCH₂O)-Ph;
- CH₂CH₂-NHSO₂-CH₂-4-[4-(4-Ph)-Ph]-Ph;
- CH₂CH₂CH₂-NHSO₂-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-NHSO₂-CH₂-4-(Ph-C≡C)-Ph;
- CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph; and
- CH₂CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph.

B1
17. (Currently Amended) A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and ~~a therapeutically effective amount of~~ a compound of Claim 1 or 10.

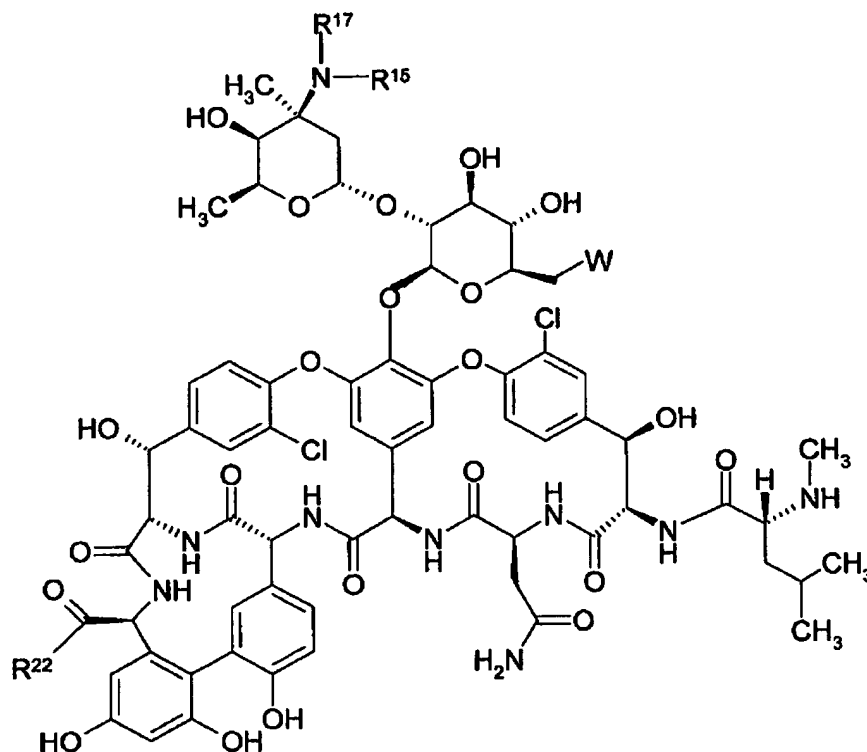
18. (Currently Amended) ~~The A~~ pharmaceutical composition of ~~Claim 17, wherein~~ the composition further comprises comprising a pharmaceutically-acceptable carrier and a cyclodextrin in combination with a compound of Claim 1 or 10.

19. (Canceled).

U.S. Serial No. 09/776,466
Attorney Docket No. P-087-US1
Page 12

20. (Currently Amended) A compound as shown in any of Tables I, II, III or IV, of

formula III:



III

or a pharmaceutically-acceptable salts salt thereof; wherein:

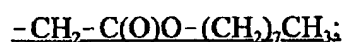
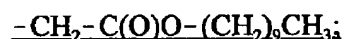
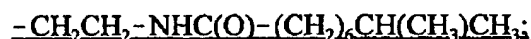
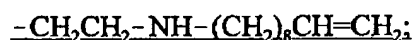
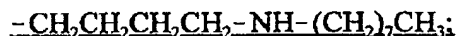
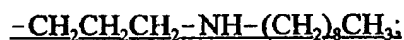
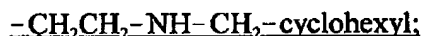
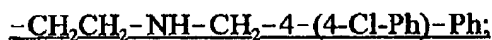
W is -NH₂;

R¹⁷ is hydrogen;

R²² is -OH; and

U.S. Serial No. 09/776,466
Attorney Docket No. P-087-US1
Page 13

BQ
Agmt
R¹⁵ is selected from the group consisting of:



U.S. Serial No. 09/776,466
Attorney Docket No. P-087-US1
Page 14

b2 cont.

-CH₂CH₂-OSO₂-(CH₂)₇CH₃;
-CH₂CH₂-S-(CH₂)₉CH₃;
-CH₂CH₂-NHC(O)-(CH₂)₆CH₃;
-CH₂CH₂-NHC(O)-(CH₂)₇CH₃;
-CH₂CH₂-NHC(O)-(CH₂)₉CH₃;
-CH₂-C(O)NH-(CH₂)₆CH₃;
-CH₂-C(O)NH-(CH₂)₇CH₃;
-CH₂-C(O)NH-(CH₂)₈CH₃;
-CH₂CH₂-NH-(CH₂)₆Ph;
-CH₂CH₂-NH-(CH₂)₈Ph;
-CH₂CH₂-NH-CH₂Ph;
-CH₂CH₂-NH-CH₂-4-Cl-Ph;
-CH₂CH₂-NH-CH₂-4-[CH₃(CH₂)₂O]-Ph;
-CH₂CH₂-NH-CH₂-4-[CH₃(CH₂)₄O]-Ph;
-CH₂CH₂-NH-CH₂-4-[CH₃(CH₂)₆O]-Ph;
-CH₂CH₂-NH-CH₂-4-[CH₃(CH₂)₈O]-Ph;
-CH₂CH₂-NH-CH₂-4-[CH₃(CH₂)₂]-Ph;
-CH₂CH₂-NH-CH₂-4-[CH₃(CH₂)₃]-Ph;
-CH₂CH₂-NH-CH₂-4-[CH₃(CH₂)₄]-Ph;
-CH₂CH₂-NH-CH₂-4-(PhO)-Ph;
-CH₂CH₂-NH-CH₂-4-(PhS)-Ph;
-CH₂CH₂-NH-CH₂-3-(PhO)-Ph;
-CH₂CH₂-NH-CH₂-4-(cyclohexyl)-Ph;
-CH₂CH₂-NH-CH₂-4-{4-[CH₃(CH₂)₄O]-Ph}-Ph;
-CH₂CH₂-NH-CH₂-4-CF₃-Ph;
-CH₂CH₂-NH-CH₂-4-(PhCH₂O)-Ph;

U.S. Serial No. 09/776,466
Attorney Docket No. P-087-US1
Page 15

132
cont

-CH₂CH₂-NH-CH₂-4-(4-CH₃-PhCH₂O-)Ph;
-CH₂CH₂-NH-(CH₂)₇CH(CH₃)₂;
-(CH₂)₅-NH-(CH₂)₆CH₃;
-(CH₂)₃-NH-(CH₂)₉CH₃;
-(CH₂)₄-NH-(CH₂)₉CH₃;
-(CH₂)₅-NH-(CH₂)₉CH₃;
-CH₂CH₂-NH-(CH₂)₇CH₃;
-CH₂CH₂-NH-CH₂-cyclohexyl;
-CH₂CH₂-S-(CH₂)₇CH₃;
-CH₂CH₂-OC(O)-(CH₂)₆CH₃;
-CH₂CH₂-NHSO₂-(CH₂)₉CH₃;
-CH₂CH₂-OSO₂-(CH₂)₉CH₃;
-CH₂CH₂-NH-CH₂CH=CH-CH=CH(CH₂)₄CH₃ (*trans, trans*);
-CH₂CH₂-NH-CH₂CH=CH-CH=CH(CH₂)₃CH₃ (*trans, trans*);
-CH₂CH₂-NH-CH₂CH=CH-CH=CHCH₂CH₃ (*trans, trans*);
-CH₂CH₂-NH-CH₂CH=CH-CH₂CH₂CH=CHCH₂CH₃ (*trans, trans*);
-CH₂CH₂-NH-CH₂-4-Cl-Ph;
-CH₂CH₂-NH-CH₂-4-(PhCH₂O-)Ph;
-CH₂CH₂-NH-CH₂-4-(4-CH₃-PhCH₂O-)Ph;
-CH₂CH₂-NH-CH₂-4-(4-Cl-PhCH₂O-)Ph;
-CH₂CH₂-NH-CH₂-4-[CH₃(CH₂)₂O-]Ph;
-CH₂CH₂-NH-CH₂-4-[CH₃(CH₂)₄O-]Ph;
-CH₂CH₂-NH-CH₂-4-[CH₃(CH₂)₆O-]Ph;
-CH₂CH₂-NH-CH₂-4-[CH₃(CH₂)₈O-]Ph;
-CH₂CH₂-NH-CH₂-4-[(CH₃)₂CHCH₂-]Ph;
-CH₂CH₂-NH-CH₂-4-(Ph-S-)Ph;

U.S. Serial No. 09/776,466
Attorney Docket No. P-087-US1
Page 16

B2
Agent

-CH₂CH₂-NH-CH₂-4-(4-CF₃-Ph)-Ph;
-CH₂CH₂-NH-CH₂-4-[4-[CH₂(CH₂)₄O-]-Ph]-Ph;
-CH₂CH₂-NH-(CH₂)₆Ph;
-CH₂CH₂-NH-(CH₂)₈Ph;
-CH₂CH₂-NH-CH₂CH₂-(cyclopropyl);
-CH₂-C(O)O-(CH₂)₇CH₃;
-CH₂CH₂-NH-CH₂CH=CH-CH=CHCH₃ (trans, trans);
-CH₂CH₂-NHSO₂-4-Ph-Ph;
-CH₂CH₂-N(C(O)CH₂NHCH₃)-(CH₂)₆CH₃;
-CH₂CH₂-N(C(O)CH₃)-(CH₂)₆CH₃;
-CH₂CH₂-S(O)-(CH₂)₆CH₃;
-CH₂CH₂-N(CH₂COOH)-(CH₂)₆CH₃;
-CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂-N(CH₂CO₂CH₃)-(CH₂)₆CH₃;
-CH₂-C(O)O-CH₂CH₃;
-CH₂CH₂-S(O)-(CH₂)₇CH₃;
-CH₂CH₂-NHSO₂-3-(4-Cl-Ph)-Ph;
-CH₂CH₂-NHSO₂-(CH₂)₇CH₃;
-CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph;
-CH₂CH₂-NH-(CH₂)₁₁CH₃;
-CH₂CH₂-N[C(O)CH(NH₂)(CH₂)₄NH₂]- (CH₂)₆CH₃ (R isomer);
-CH₂CH₂CH₂-NH-CH₂-4-(4-CF₃-Ph)-Ph;
-CH₂CH₂CH₂-NH-CH₂-4-(4-CH₃O-Ph)-Ph;
-CH₂CH₂-NH-CH₂-4-[(CH₃)₃CO]-Ph;
-CH₂CH₂-NH-CH₂-3,4-di-(CH₂CH₂O)-Ph;


U.S. Serial No. 09/776,466
 Attorney Docket No. P-087-US1
 Page 17

- 33
 08/14
- CH₂CH₂-NH-CH₂-4-[(CH₃)₂CH]-Ph;
 - CH₂CH₂-NH-CH₂-4-[CH₃(CH₃)₂C≡C]-Ph;
 - CH₂CH₂-NH-CH₂-4-[(CH₃)₂CHO]-Ph;
 - CH₂CH₂-NH-CH₂-4-(PhC≡C)-Ph;
 - CH₂CH₂-NH-CH₂-4-[(CH₃)₃Cl]-Ph;
 - CH₂CH₂-NH-CH₂-5-(PhC≡C)-thiophen-2-yl;
 - CH₂CH₂-NH-CH₂-4-(PhCH=CH)-Ph (*trans*);
 - CH₂CH₂-NH-CH₂-(CH=CH)₄-CH₃ (*trans, trans, trans, trans*);
 - CH₂CH₂-N(C(O)Ph)-(CH₂)₉CH₃;
 - CH₂CH₂-NH-CH₂-4-[4-(CH₃)₃C-thiazol-2-yl]-Ph;
 - CH₂CH₂-N[(CH₂)₉CH₃]-C(O)CH₂-S-4-pyridyl;
 - CH₂CH₂-N[(CH₂)₉CH₃]-C(O)-2-[PhCH(CH₃)NHC(O)-]Ph (*R isomer*);
 - CH₂CH₂-N[(CH₂)₉CH₃]-C(O)-(1-PhCH₂OC(O)-2-oxoimidazolidin-5-yl) (*S isomer*);
 - CH₂CH₂-N[(CH₂)₉CH₃]-C(O)-1-HO-cyclopropyl;
 - CH₂CH₂-N(C(O)CH₂-naphth-2-yl)-(CH₂)₉CH₃;
 - CH₂CH₂-N[C(O)(CH₂)₉CH₂OH]-(CH₂)₉CH₃;
 - CH₂CH₂-N[C(O)CH₂(OCH₂CH₂)₂OCH₃]-CH₃-(CH₂)₉CH₃;
 - CH₂CH₂-N[C(O)CH₂CH(Ph)₂]-CH₃-(CH₂)₉CH₃;
 - CH₂CH₂-N(C(O)CH₂-3-HO-Ph)-(CH₂)₉CH₃;
 - CH₂CH₂-N(C(O)CH₂-NHC(O)-3-CH₃-Ph)-(CH₂)₉CH₃;
 - CH₂CH₂-N(C(O)CH₂CH₂-O-Ph)-(CH₂)₉CH₃;
 - CH₂CH₂-N(C(O)CH₂CH₂-3-pyridyl)-(CH₂)₉CH₃;
 - CH₂CH₂-N(C(O)(CH₂)₃-4-CH₃O-Ph)-(CH₂)₉CH₃;
 - CH₂CH₂-N(C(O)-indol-2-yl)-(CH₂)₉CH₃;
 - CH₂CH₂-N{C(O)-1-[CH₃COC(O)-]pyrrolidin-2-yl}-(CH₂)₉CH₃;
 - CH₂CH₂-N(C(O)CH₂-NHC(O)-CH=CH-furan-2-yl)-(CH₂)₉CH₃ (*trans*);

U.S. Serial No. 09/776,466
Attorney Docket No. P-087-US1
Page 18

-CH₂CH₂-N[C(O)-1-CH₂CH₂-7-CH₃-4-oxo-1,4-dihydro[1,8]naphthyridin-3-yl]-(CH₂)₉CH₃;
-CH₂CH₂-N(C(O)-1,3-benzodioxol-5-yl)-(CH₂)₉CH₃;
-CH₂CH₂-N(C(O)CH₂-4-oxo-2-thioxothiazolidin-3-yl)-(CH₂)₉CH₃;
-CH₂CH₂-N(C(O)-3,4,5-tri-HO-cyclohex-1-en-1-yl)-(CH₂)₉CH₃ (R,S,R isomer);
-CH₂CH₂-N(C(O)CH₂CH₂C(O)NH₂)-(CH₂)₉CH₃;
-CH₂CH₂-N(C(O)CH₂-5-CH₃-2,4-dioxo-3,4-dihydropyrimidin-1-yl)-(CH₂)₉CH₃;
-CH₂CH₂-N(C(O)CH=CH-imidazol-4-yl)-(CH₂)₉CH₃ (*trans*);
-CH₂CH₂-N[C(O)CH(CH₂CH₂C(O)NH₂)-NHC(O)O-CH₂Ph]-(CH₂)₉CH₃ (S isomer);
-CH₂CH₂-N[C(O)CH(CH₂OH)NHC(O)O-CH₂Ph]-(CH₂)₉CH₃ (S isomer);
-CH₂CH₂-N[C(O)CH[CH(OH)CH₃]NH-C(O)O-CH₂Ph]-(CH₂)₉CH₃ (S isomer);
-CH₂CH₂-N(C(O)CH₂NHSO₂-4-CH₃-Ph)-(CH₂)₉CH₃;
-CH₂CH₂-N(C(O)(CH₂)₃-NH₂)-(CH₂)₉CH₃;
-CH₂CH₂-N(C(O)-pyrrolidin-2-yl)-(CH₂)₉CH₃ (R isomer);
-CH₂CH₂-N(C(O)-pyrrolidin-2-yl)-(CH₂)₉CH₃ (S isomer);
-CH₂CH₂-N(C(O)CH(NH₂)(CH₂)₄-NH₂)-(CH₂)₉CH₃ (S isomer);
-CH₂CH₂-N(C(O)CH(NH₂)CH₂-3-HO-Ph)-(CH₂)₉CH₃;
-CH₂CH₂-N(C(O)CH(NH₂)CH₃)-(CH₂)₉CH₃ (R isomer);
-CH₂CH₂-N[C(O)CH(CH₂OH)NHC(O)-CH₃]-(CH₂)₉CH₃ (S isomer);
-CH₂CH₂-N[C(O)CH(NHC(O)CH₃)-(CH₂)₃-NHC(NH)NH₂]-(CH₂)₉CH₃ (S isomer);
-CH₂CH₂-N(C(O)CH₂NHC(O)CH₃)-(CH₂)₉CH₃;
-CH₂CH₂-N(C(O)CH(CH₃)OC(O)CH-(NH₂)CH₃)-(CH₂)₉CH₃ (R,R isomer);
-CH₂CH₂-N(C(O)-5-oxopyrrolidin-2-yl)-(CH₂)₉CH₃ (R isomer);
-CH₂CH₂-NHC(O)-CH₂CH(CH₂CH₂Ph)-{3-[4-(9H-fluoren-9-yl)CH₂OC(O)NH(CH₂)₄]-1,4-dioxohexahydro-1,2- α -pyrazin-2-yl} (S,S,S isomer);
-CH₂CH₂-NHSO₂-4-(2-Cl-Ph)-Ph;
-CH₂CH₂-NHSO₂-4-[4-(CH₃)₃C-Ph]-Ph;

U.S. Serial No. 09/776,466
Attorney Docket No. P-087-US1
Page 19


-CH₂CH₂-NHSO₂-4-[4-(Ph)-Ph-]Ph;
-CH₂CH₂-NH-4-(4-CF₃-Ph)-Ph;
-CH₂CH₂-S-(CH₂)₈Ph;
-CH₂CH₂-S-(CH₂)₃CH=CH(CH₂)₄CH₃ (*trans*);
-CH₂CH₂-S-CH₂CH₂(CF₂)₅CF₃;
-CH₂CH₂-S-CH₂-4-[(CH₃)₂CHCH₂-]Ph;
-CH₂CH₂-S-(CH₂)₁₁CH₃;
-CH₂CH₂-S-(CH₂)₈CH₃;
-CH₂CH₂-S-CH₂-3,4-di-(PhCH₂O-)Ph;
-CH₂CH₂CH₂-S-(CH₂)₈Ph;
-CH₂CH₂CH₂-S-(CH₂)₈CH₃;
-CH₂CH₂CH₂-S-(CH₂)₉CH₃;
-CH₂CH₂CH₂-S-(CH₂)₆Ph;
-CH₂CH₂CH₂CH₂-S-(CH₂)₇CH₃;
-CH₂CH₂-S-(CH₂)₆Ph;
-CH₂CH₂-S-(CH₂)₁₀Ph;
-CH₂CH₂CH₂-S-CH₂-4-[(CH₃)₂CHCH₂-]Ph;
-CH₂CH₂-S-(CH₂)₃CH=CH(CH₂)₄CH₃ (*trans*);
-CH₂CH₂-S-CH₂-4-[3,4-di-Cl-PhCH₂O-]Ph;
-CH₂CH₂CH₂-S-CH₂-4-[3,4-di-Cl-PhCH₂O-]Ph;
-CH₂CH₂-SO-4-(4-Cl-Ph)-Ph;
-CH₂CH₂CH₂-SO-4-(4-Cl-Ph)-Ph;
-CH₂CH₂-S-(CH₂)₁₀CH₃;
-CH₂CH₂CH₂-S-(CH₂)₁₀CH₃;
-CH₂CH₂CH₂-S-CH₂-4-[CH₃(CH₂)₄O-]Ph;
-CH₂CH₂CH₂-S-CH₂CH=CH-CH=CH(CH₂)₄CH₃ (*trans, trans*);

U.S. Serial No. 09/776,466
Attorney Docket No. P-087-US1
Page 20

162
Conclude
-CH₂CH₂-S-CH₂-4-[4-Cl-PhCH₂O-]Ph;

-CH₂CH₂CH₂-S-CH₂-4-[4-Cl-PhCH₂O-]Ph;

-CH₂CH₂CH₂-S-CH₂-4-(4-CF₃-Ph-)Ph;

-CH₂CH₂CH₂-S-CH₂-4-(4-F-PhSO₂NH-)Ph;

-CH₂CH₂CH₂-S-(CH₂)₈CH₃;

-CH₂CH₂CH₂-S(O)-(CH₂)₆Ph;

-CH₂CH₂-S(O)-(CH₂)₈Ph;

-CH₂CH₂-S-(CH₂)₃-4-Cl-Ph;

-CH₂CH₂-S-(CH₂)₆-4-Cl-Ph; and

-CH₂CH₂-SO₂-(CH₂)₉CH₃.

21. (Canceled).